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Set Name side by side	Query	Hit Count	Set Name result set
DB=USPT,PGPB,JPAB,EPAB,DWPI; PLUR=YES; OP=ADJ			
L11	L10 same l9	4	L11
L10	ethanol	263696	L10
L9	L8 with l7	105	L9
L8	cisplatin	3845	L8
L7	L6 or l5	82173	L7
L6	phosphatidyl glycerol	767	L6
L5	lipid or phospholipid or liposome	82112	L5
L4	negatively charged or anionic	150158	L4
L3	L2 with l1	72	L3
L2	dna or nucleic or plasmid	154024	L2
L1	polymeric matrix or polymer matrix	23659	L1

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L11: Entry 4 of 4 File: DWPI Nov 28, 2001

DERWENT-ACC-NO: 2001-417616
DERWENT-WEEK: 200201

TITLE: Production of cisplatin micelles, useful for treatment of cancer, by combining with phosphatidyl glycerol lipid in presence of ethanol, can evade macrophages and immune system cells

PRIORITY-DATA: 1999US-0434345 (November 5, 1999)

PATENT-FAMILY:

PUB-NO	PUB-DATE	LANGUAGE	PAGES	MAIN-IPC
EP 1156789 A1	November 28, 2001	E	000	A61K031/00
WO 200134130 A1	May 17, 2001	E	044	A61K031/00
AU 200111048 A	June 6, 2001		000	A61K031/00

APPLICATION-DATA:

PUB-NO	APPL-DATE	APPL-NO	DESCRIPTOR
EP 1156789A1	October 27, 2000	2000EP-0972379	
EP 1156789A1	October 27, 2000	2000WO-US29723	
EP 1156789A1		WO 200134130	Based on
WO 200134130A1	October 27, 2000	2000WO-US29723	
AU 200111048A	October 27, 2000	2001AU-0011048	
AU 200111048A		WO 200134130	Based on

INT-CL (IPC): A61 K 31/00; C07 H 21/02; C07 H 21/04; C12 N 15/63; C12 N 15/85; C12 N 15/87; C12 N 15/88

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L11: Entry 1 of 4 File: PGPB Oct 25, 2001

DOCUMENT-IDENTIFIER: US 20010033861 A1
TITLE: Liposomes containing an entrapped compound in supersaturated solution

Detail Description Paragraph (36):
[0071] The liposomes for use in this study were prepared in the presence of ethanol during the first stages of liposome formulation and a study was performed to determine the effect of ethanol on the solubility of cisplatin. Hence, the solubility of cisplatin at 1 and 8 mg/ml at room temperature and at 65.degree. C. in 0.9% NaCl and in 20% ethanol in 0.9% NaCl was examined. At 1 mg/ml, cisplatin was soluble under all conditions, while at 8 mg/ml, most of the cisplatin precipitated at room temperature, yet was mostly soluble at 65.degree. C. Lowering the temperature back to room temperature led to the precipitation of most of the 8 mg/ml of the cisplatin, in both the absence and presence of 20% ethanol. Thus, it can be concluded that the presence of 20% ethanol did not improve the solubility of cisplatin. NMR measurements indicate that the solubility of free cisplatin in the aqueous phase is limited to .about.2 mg/ml, and is increased upon a rise in temperature to 60.degree. C. The NMR experiments show detection of a peak whose integration is proportional to .about.2 mg/ml, whereas the insoluble platinum precipitate is in fact undetected. In the case of the liposomes, nearly all the cisplatin accounted for by atomic absorption is soluble in the intraliposomal aqueous phase, which suggests that the intraliposomal concentration is higher than 2 mg/ml, which is the solubility at room temperature. It was found that in spite of the fact that the concentration of cisplatin during liposome preparation was above the solubility at room temperature (or 4.degree. C.), nearly all the cisplatin in the liposomes behaved as if soluble in the intraliposomal aqueous phase. From the solubility studies it is clear that ethanol is not responsible for the higher than expected drug-to-lipid ratio.

Detail Description Paragraph (62):
[0097] The warm lipid solution was rapidly added to the warm (63-67.degree. C.) drug solution, with mixing, to form a suspension of liposomes having heterogeneous sizes. The suspension was mixed for one hour at 63-67.degree. C. The cisplatin concentration in the hydration mixture was 7.2 mg/ml and, at this stage, approximately 30% of the drug was encapsulated in the liposomes. 10% of the total solution volume was ethanol and the total lipid concentration was 150 mg lipid/ml.

L11: Entry 2 of 4 File: USPT Oct 3, 2000

DOCUMENT-IDENTIFIER: US 6126966 A
TITLE: Liposomes containing a cisplatin compound

Detailed Description Paragraph Right (13):
In the method of the invention, liposomes containing a cisplatin compound are prepared by adding to a heated aqueous solution of a cisplatin compound a mixture of vesicle-forming lipids containing between 1-20 mole percent of a vesicle-forming lipid derivatized with a hydrophilic polymer. The lipids are dissolved in a suitable lipid solvent, such as ethanol, methanol, chloroform or mixtures thereof.

Detailed Description Paragraph Right (16):
In the example detailed below, the vesicle-forming lipid HSPC, the derivatized vesicle-forming lipid PEG-DSPE and cholesterol are dissolved in ethanol heated to about 65.degree. C., just above HSPC phase transition temperature's between about 52-60.degree. C. An aqueous solution of native cisplatin is heated to between 63-67.degree. C. The solutions are mixed together to form liposomes containing the cisplatin compound in entrapped form. The method of the invention achieves a high encapsulation of cisplatin, typically encapsulating between 10-20 .mu.g drug/mg lipid, and provides liposomes having, in addition to the outer surface coating, an inner surface coating of hydrophilic polymer chains, with the cisplatin compound stably entrapped within the liposome.

Detailed Description Paragraph Right (107):
The warm lipid solution was rapidly added to the warm (63-67.degree. C.) drug solution, with mixing, to form a suspension of liposomes having heterogeneous sizes. The suspension was mixed for one hour at 63-67.degree. C. The cisplatin concentration in the hydration mixture was 7.2 mg/ml and, at this stage, approximately 30% of the drug was encapsulated in the liposomes. 10% of the total solution volume was ethanol and the total lipid concentration was 150 mg lipid/ml.

(FILE 'HOME' ENTERED AT 18:07:16 ON 24 APR 2002)

FILE 'MEDLINE, CANCERLIT, EMBASE, BIOSIS, CAPLUS, BIOTECHDS' ENTERED AT 18:08:05 ON 24 APR 2002

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L1        135044 S CISPLATIN
L2           123 S NEGATIV? AND PHOSPHATIDYL GLYCEROL
L3             0 S L1 AND L2
L4          1025 S LIPID AND L1
L5            72 S L4 AND (AQUEOUS OR WATER OR AQUA)
L6            38 DUP REM L5 (34 DUPLICATES REMOVED)
L7        367589 S ETHANOL
L8             3 S L7 AND L5
L9             3 DUP REM L8 (0 DUPLICATES REMOVED)
L10      1339951 S ANIONIC OR NEGATIV?
L11           19 S L10 AND L4
L12            0 S L11 AND L7
L13           10 DUP REM L11 (9 DUPLICATES REMOVED)
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L6 ANSWER 1 OF 38 MEDLINE DUPLICATE 1
AN 2002060110 MEDLINE
DN 21646649 PubMed ID: 11786911
TI Nanocapsules: **lipid**-coated aggregates of **cisplatin** with high cytotoxicity.
AU Burger Koert N J; Staffhorst Rutger W H M; de Vijlder Hanke C; Velinova Maria J; Bomans Paul H; Frederik Peter M; de Kruijff Ben
CS Department Biochemistry of Membranes, Center for Biomembranes and Lipid Enzymology, Institute of Biomembranes, Utrecht University, Utrecht, The Netherlands.. k.n.j.burger@bio.uu.nl
SO NATURE MEDICINE, (2002 Jan) 8 (1) 81-4.
Journal code: 9502015. ISSN: 1078-8956.
CY United States
DT Journal; Article; (JOURNAL ARTICLE)
LA English
FS Priority Journals
EM 200201
ED Entered STN: 20020125
Last Updated on STN: 20020131
Entered Medline: 20020130
AB **Cisplatin** is one of the most widely used agents in the treatment of solid tumors, but its clinical utility is limited by toxicity. The development of less toxic, liposomal formulations of **cisplatin** has been hampered by the low **water** solubility and low lipophilicity of **cisplatin**, resulting in very low encapsulation efficiencies. We describe a novel method allowing the efficient encapsulation of **cisplatin** in a **lipid** formulation; it is based on repeated freezing and thawing of a concentrated solution of **cisplatin** in the presence of negatively charged phospholipids. The method is unique in that it generates nanocapsules, which are small aggregates of **cisplatin** covered by a single **lipid** bilayer. The nanocapsules have an unprecedented drug-to-**lipid** ratio and an in vitro cytotoxicity up to 1000-fold higher than the free drug. Analysis of the mechanism of nanocapsule formation suggests that the method may be generalized to other drugs showing low **water** solubility and lipophilicity.

L6 ANSWER 11 OF 38 CAPLUS COPYRIGHT 2002 ACS
AN 1998:548519 CAPLUS
DN 129:193714
TI Liposomes containing active agents
IN Needham, David; Sarpal, Ranjit S.
PA Duke University, USA
SO PCT Int. Appl., 139 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9834597	A1	19980813	WO 1998-US2154	19980205
	W: AL, AM, AT, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, CZ, DE, DE, DK, DK, EE, EE, ES, FI, FI, GB, GE, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	US 5827533	A	19981027	US 1997-795100	19970206
	AU 9863196	A1	19980826	AU 1998-63196	19980205
	US 5882679	A	19990316	US 1998-129654	19980805
PRAI	US 1997-795100	A1	19970206		
	WO 1998-US2154	W	19980205		

AB Liposomes formulations for i.v. administration contg. a poorly **water**-sol. active agent in the **lipid** bilayer of the liposome and/or entrapped in micelles within the liposome interior space are designed to maximize the amt. of active agent that can be carried by the liposomes. The bilayer membrane comprises a vesicle-forming **lipid** and an amt. of hydrophilic polymer-derivatized vesicle-forming **lipid** and/or cholesterol sufficient to inhibit fusion of the liposome membrane with an active agent-**lipid** surfactant aggregate entrapped therein and thereby preserve the phys. integrity of the liposomes. The hydrophilic polymer is e.g. PEG, poly(lactic acid), poly(glycolic acid), lactic acid/glycolic acid copolymer, or poly(vinyl alc.). For the **lipid** bilayer to be stable in the presence of micelles, the micelle-forming surfactant must have a low crit. micelle concn.; a suitable surfactant is monooleoylphosphatidylcholine (MOPC; crit. micelle concn. .apprx.3 .mu.M). Thus, taxol was solubilized by incorporation into MOPC micelles in a 1:5 molar ratio. Liposomes produced at a 1-stearoyl-2-oleoyl-sn-glycero-3-phosphocholine (SOPC)/MOPC mol ratio of 16:4, contained .ltoreq.1.7 mM taxol after extrusion and cleaning, compared to 0.5 mM for SOPC liposomes in the absence of MOPC. Incorporation of cholesterol stabilized the liposome bilayer; the optimal SOPC/cholesterol ratio was 2:1.

L6 ANSWER 16 OF 38 CANCERLIT
AN 96606075 CANCERLIT
DN 96606075
TI Liposomal delivery of lipophilic antitumor drugs.
AU Mori A
CS Univ. of Pittsburgh.
SO Diss Abstr Int [B], (1995). Vol. 56, No. 1, pp. 187.
ISSN: 0419-4217.
DT (THESIS)
FS ICDB
LA English
EM 199605
AB Most antitumor drugs are nonspecific in their action, and the systemic toxicity arising from their nonspecific localization often limits the effectiveness of current cancer chemotherapy. Many **water**-soluble antitumor drugs have been examined in liposomal formulations to improve the specificity of the drugs. However, problems in the liposome preparation, such as poor encapsulation efficiency of the drug and low stability of the preparation, have been major obstacles in their application. In this study, lipophilic derivatives of antitumor drugs were developed by chemical modifications and formulated in different liposomes. The goal of this project is to develop a liposomal system for efficient delivery of lipophilic antitumor drugs to the target sites other than the reticuloendothelial system (RES) in the spleen and liver. Two different modes of liposome targeting were examined in these studies, including the passive targeting with long-circulating liposomes to the tumor residing outside the RES organs and the active targeting to the lung using antibody-directed liposomes or immunoliposomes. Lipophilic derivatives of several antitumor drugs including Ara-C, Adriamycin, **cisplatin**, and fluorodeoxyuridine were efficiently incorporated into liposomes with various **lipid** compositions. Studies using a mouse lung endothelial model showed that several lipophilic antitumor drugs can be delivered efficiently to the lung by formulating them into lung-specific immunoliposomes. Furthermore, studies using a mouse lung metastasis model and a lipophilic derivative of fluorodeoxyuridine, 3',5'-O-dipalmitoyl-5-fluoro-2'-deoxyuridine (dpFUdR), demonstrated the effectiveness of immunoliposome-mediated organ-specific delivery of dpFUdR in therapy of lung metastases. On the other hand, studies using a lipophilic derivative of **cisplatin**, cis-bis-neodecanoato-trans-R,R-1,2-diaminocyclohexane platinum (II) (NDDP), showed that NDDP can be formulated in long-circulating liposomes without compromising their ability to remain in the blood circulation for a prolonged period of time. NDDP exhibits in vivo antitumor activity only when formulated in liposomes containing amphipathic polyethyleneglycols which confer both prolonged circulation of liposomes and enhanced cytotoxic activity of liposomal NDDP. Besides the traditional antitumor drugs, recombinant tumor necrosis factor-alpha was also shown to be efficiently incorporated into long-circulating liposomes upon chemical modifications with a phospholipid and to exhibit an increased half-life in the blood circulation. The described approach can be used to improve already well-characterized antitumor drugs. (Full text available from University Microfilms International, Ann Arbor, MI, as Order No. AADAA-I9521399)

L6 ANSWER 18 OF 38 CAPLUS COPYRIGHT 2002 ACS
AN 1994:144177 CAPLUS
DN 120:144177
TI Pharmaceutical liposome manufacture from compounds which are poorly soluble in **aqueous** solutions
IN Szoka, Francis C., Jr.
PA Regents of the University of California, USA
SO U.S., 19 pp. Cont.-in-part of U.S. 5,077,057.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 5277914	A	19940111	US 1991-741937	19910808
	US 5077057	A	19911231	US 1990-605155	19901029
	US 5549910	A	19960827	US 1994-179291	19940110
	US 5567434	A	19961022	US 1995-480227	19950607
PRAI	US 1989-332609		19890331		
	US 1989-334055		19890405		
	US 1990-605155		19901029		
	US 1991-741937		19910808		
	US 1994-179291		19940110		

AB Pharmaceutical liposome of compds. which are poorly sol. in aq. solns. are prepd. by dissolving the compd. and a liposome-forming **lipid** in an aprotic solvent such as DMSO, optionally contg. a **lipid** -solubilizing amt. of a lower alkanol, and either injecting the resulting soln. into an aq. soln., or the aq. soln. into the resulting soln. Amphotericin B (I) and chloresterol were dissolved in DMSO:EtOH 7:3 mixt. and the soln. was injected into a 10mM Hepes buffer pH=7.4 at 30.degree. to obtain liposomes having diam. of 451 nm which were dialyzed vs. distd. **water**. The above liposomes at 6-9 mg/kg/day were as effective as 4.5 mg/kg/day free I in immunosuppressed rabbits infected with Aspergillis fumioatus.

L6 ANSWER 24 OF 38 CAPLUS COPYRIGHT 2002 ACS
AN 1992:578320 CAPLUS
DN 117:178320
TI Liposomes with enhanced entrapping capacity.
IN Schneider, Michel; Tournier, Herve; Hyacinthe, Roland; Guillot, Christian; Lamy, Bernard
PA Sintetica S.A., Switz.
SO PCT Int. Appl., 32 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9210166	A1	19920625	WO 1991-EP2377	19911209
	W: AU, CA, HU, JP, KR, US				
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LU, MC, NL, SE				
	IL 99835	A1	19951127	IL 1991-99835	19911023
	ZA 9108489	A	19920729	ZA 1991-8489	19911024
	IN 172269	A	19930529	IN 1991-MA809	19911024
	CA 2072559	AA	19920612	CA 1991-2072559	19911209
	CA 2072559	C	19970318		
	AU 9190629	A1	19920708	AU 1991-90629	19911209
	AU 635456	B2	19930318		
	EP 514523	A1	19921125	EP 1992-901231	19911209
	EP 514523	B1	19951220		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, MC, NL, SE				
	HU 62458	A2	19930528	HU 1992-2595	19911209
	JP 05504150	T2	19930701	JP 1992-502062	19911209
	JP 3241720	B2	20011225		
	AT 131724	E	19960115	AT 1992-901231	19911209
	ES 2081605	T3	19960301	ES 1992-901231	19911209
PRAI	EP 1990-810969	A	19901211		
	WO 1991-EP2377	A	19911209		

AB Empty liposome vesicles are prepd., contg. **water** or very dil. solns. encapsulated therein. These empty liposomes are suspended in a carrier liq. contg. the active ingredient and incubated at a temp. above the **lipid** transition temp. The liposomes are loaded by transmembrane permeation. Liposomes manufd. from hydrogenated soy lecithin and di-Na dipalmitoylphosphatidate were incubated with an aq. iopamidol soln., at 60.degree. for 30 min to obtain liposomes with I/ **lipid** ratio of 3-5/1 mg. The liposomes were stable after 10 min heating at 130.degree..